Ex. 28

Ex. 33

Ex. 35

Ex. 36

Ex. 38

FIG.6 Ex.52

Ex. 71

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

Ex. 73

Ex. 75

Ex. 77

Ex. 79

Ex. 72

Ex. 74

Ex. 76

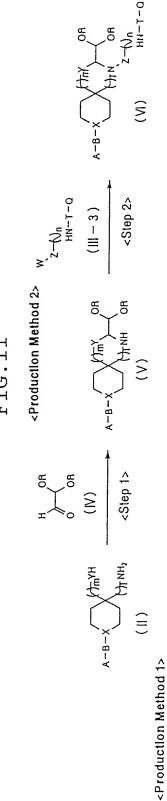
Ex. 78

Ex. 80

FIG.10

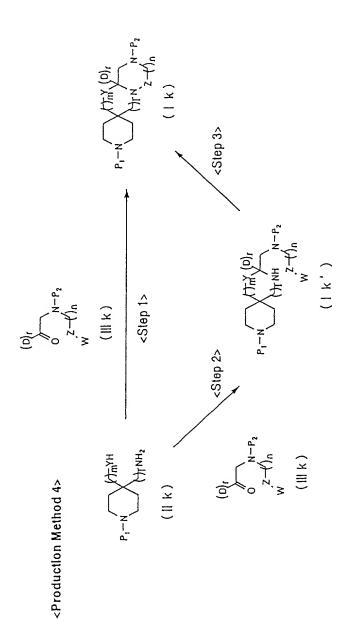
Compound C

FIG.11



<Step 3>





$$A-B-X$$

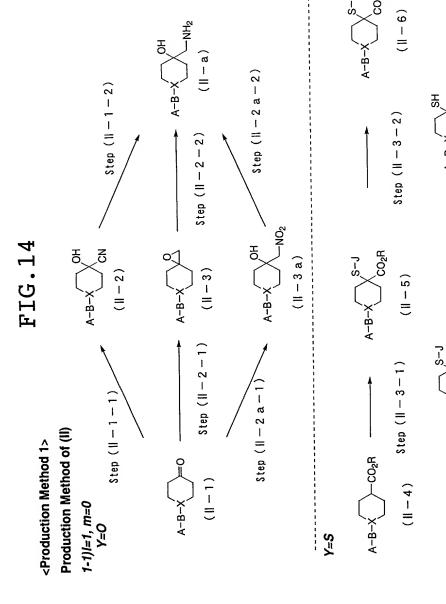
$$(|||)$$

$$A+B-X$$

$$(|||)$$

$$(|||)$$

$$(||-a|)$$



Step (11-3-4)

step (11 - 3 - 3)

FIG. 15A

(E=NO₂, CN, CONH₂) Step (II -4-3) A-B-X (/m-qO₂R M Step (II -4-2) (F-N) (II -10) Step (II -4-1) A-B-X Production Method of (II) (8-11) <Pre><Pre>cProduction Method 1> 1-2)/=0,1,2 m=1,2 Y=0

(P-II) Step (II - 5 - 2) (11-11) (/mOH /mNH₂ Step (II -5-1) (II – c)

Y=N

FIG.15B

<Production Method 1>
Production Method of (II)
1-2)I=0,1,2 m=1,2

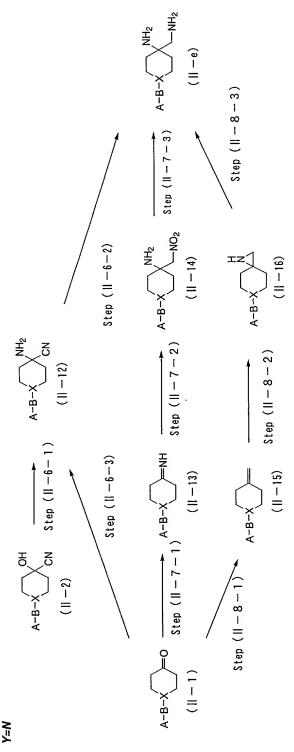
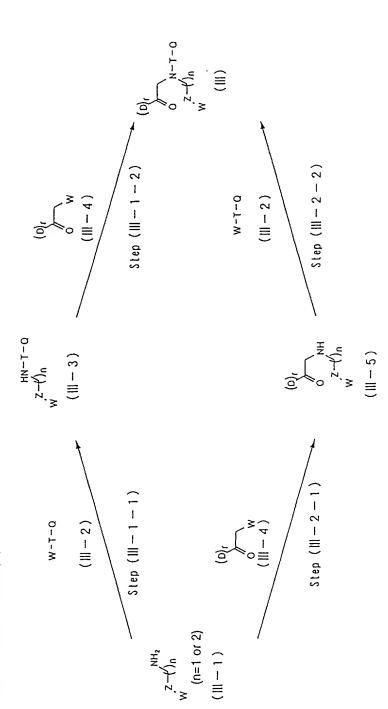


FIG. 16

<Pre><Production Method 1>

Production Method of (III)



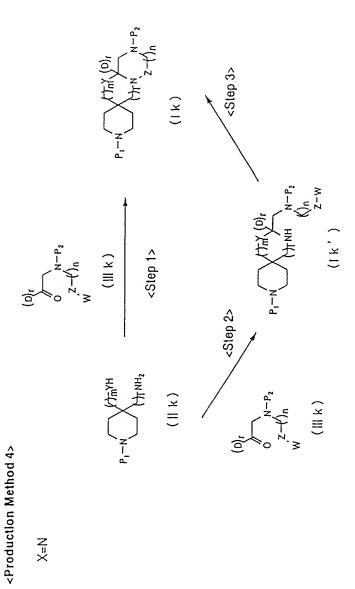
W, z-{\n HN-T-0} (III - 3) <Step 2> H ON OR <Step 1> <Pre><Pre>roduction Method 2>

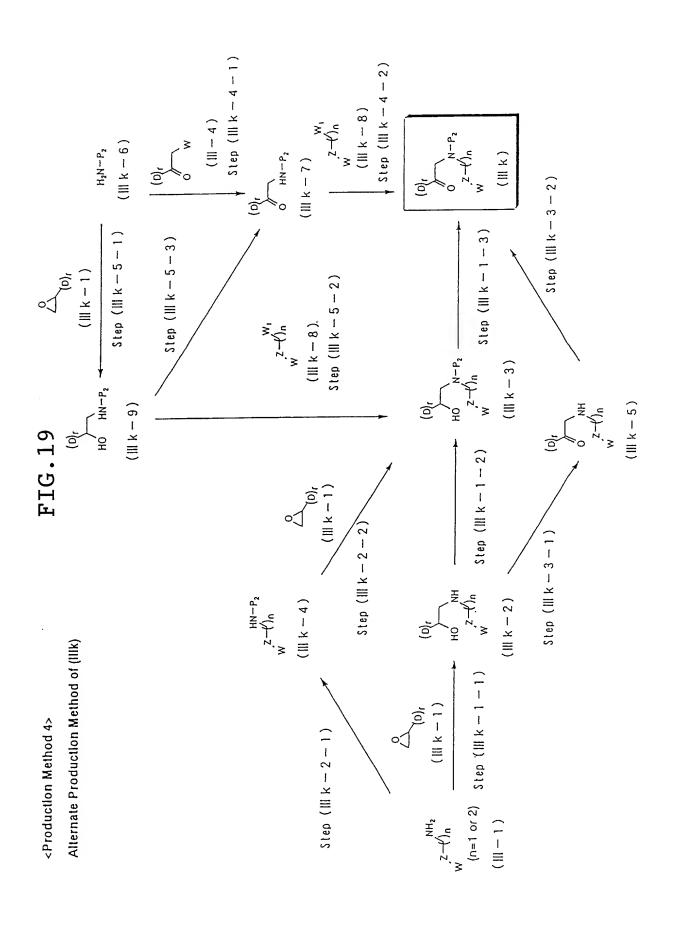
<Step 3>

(1 - b)<Pre><Production Method 3>

(l-b)

FIG. 18





$$A = -X$$

$$A$$

<Converted Example of D (-CH2OH)>

FIG.21

| | N M R (270MHz) (ppm) |
|---------|---|
| Ex. No. | (*:300MHz) |
| 1 | CDCI ₃ *:8.38-8.33 (1H, m), 8.27-8.20 (2H, m), 7.99-7.92 (3H, m), 7.79 (1H, dd, J=2, 9Hz), 7.65-7.59 (1H, m), 6.66-6.58 (2H, m), 4.42-4.32 (2H, m), 4.25-4.17 (1H, m), 3.71-3.58 (2H, m), 3.53-3.17 (5H, m), 3.43 (3H, s), 3.35 (1H, d, J=17Hz), 2.30 (1H, d, J=12Hz), 2.03-1.80 (2H, m), 1.57-1.45 (2H, m) |
| 2 | CDCI ₃ :8.56 (1H, s), 8.38-8.33 (1H, m), 8.18 (1H, d, J=6Hz), 7.99-7.92 (3H, m), 7.82-7.76 (1H, m), 7.65-7.58 (1H, m), 6.50-6.45 (1H, m), 4.42-4.30 (2H, m), 4.20 (1H, d, J=12Hz), 3.94-3.37 (4H, m), 3.68 (1H, d, J=10Hz), 3.63 (1H, d, J=10Hz), 3.22 (1H, d, J=12Hz), 2.31 (1H, d, J=12Hz), 2.02-1.72 (2H, m), 1.53-1.43 (2H, m) |
| 3 | CDC 1 ₃ :8.38-8.34 (1H, m), 8.28-8.19 (2H, m), 7.98-7.92 (3H, m), 7.82-7.76 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.66-6.58 (2H, m), 4.48-4.22 (3H, m), 3.98-3.88 (1H, m), 3.80-3.69 (1H, m), 3.54-3.15 (5H, m), 3.40 (1H, d, J=17Hz), 2.33 (1H, d, J=12Hz), 2.22-1.82 (2H m) 1.58-1.48 (2H m) |
| 4 | CDCI ₃ *:8.37-8.32 (1H, m), 8.28-8.21 (2H, m), 7.99-7.91 (3H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, 9Hz), 6.65-6.58 (2H, m), 4.42-4.10 (7H, m), 3.92 (1H, d, J=10Hz), 3.80 (1H, d, J=10Hz), 3.57-3.16 (6H, m), 2.32 (1H, d, J=12Hz), 2.17-2.06 (1H, m), 1.96-1.83 (1H, m), 1.56-1.47 (2H, m), 1.35-1.20 (3H, m) |
| 5 | CD ₃ OD:8.53-8.47 (1H, m), 8.18-8.03 (5H, m), 7.92-7.83 (1H, m), 7.68-7.62 (1H, m), 7.18-7.09 (2H, m), 4.34 (1H, d, J=12Hz), 4.35-4.20 (1H, m), 4.20-3.26 (11H, m), 2.65 (1H, d, J=12Hz), 2.22-2.10 (1H, m), 2.02-1.88 (1H, m), 1.73-1.55 (2H, m) |
| 6 | CDCI ₃ *:8.40-8.37 (1H, m), 8.28-8.20 (2H, m), 8.07-7.93 (3H, m), 7.80-7.64 (3H, m), 6.66-6.58 (2H, m), 4.42-4.30 (2H, m), 4.20 (1H, d, J=12Hz), 3.72-3.61 (2H, m), 3.52-3.18 (4H, m), 3.44 (3H, s), 3.35 (1H, d, J=17Hz), 3.21 (1H, d, J=12Hz), 2.28 (1H, d, J=12Hz), 2.04-1.79 (2H, m), 1.57-1.45 (2H, m) |
| 7 | CDC1 ₃ *:8.40-8.36 (1H, m), 8.29-8.20 (2H, m), 8.00-7.94 (3H, m), 7.80 (1H, dd, J=2, 9Hz), 7.63 (1H, dd, J=2, 9Hz), 6.66-6.59 (2H, m), 4.54-4.15 (5H, m), 3.60-3.14 (6H, m), 2.36 (1H, d, J=12Hz), 2.13 (3H, s), 1.99-1.73 (2H, m), 1.62-1.46 (2H, m) |

FIG.22

| Ex. No. | NMR (270MHz) (ppm)
(*:300MHz) |
|---------|--|
| 8 | CDCI ₃ *:8.37-8.34 (1H, m), 8.26-8.19 (2H, m), 7.99-7.91 (3H, m), 7.79 (1H, dd, J=2, 9Hz), 7.65-7.59 (1H, m), 6.62-6.55 (2H, m), 4.72 (1H, dd, J=2, 14Hz), 4.35-4.25 (2H, m), 4.07 (1H, d, J=11Hz), 3.74 (1H, d, J=12Hz), 3.63 (1H, d, J=11Hz), 3.49 (3H, s), 3.34 (1H, d, J=17Hz), 3.63-3.28 (3H, m), 3.26-3.11 (2H, m), 2.68 (1H, d, J=14Hz), 2.42 (1H, d, J=12Hz), 1.68-1.40 (4H, m) |
| g | CDCl ₃ :8.45-8.13 (3H, m), 8.00-7.90 (3H, m), 7.79 (1H, dd, J=2, 8Hz), 7.62 (1H, dd, J=2, 9Hz), 6.82-6.58 (2H, m), 5.26-5.18 (1H, m), 4.45-4.26 (2H, m), 3.77 (1H, d, J=12Hz), 3.70-3.51 (2H, m), 3.47-3.23 (2H, m), 3.32 (1H, d, J=17Hz), 3.19 (1H, d, J=12Hz), 2.52-2.39 (1H, m), 2.06-1.88 (1H, m), 1.85-1.59 (3H, m) |
| 10 | CDCI ₃ *:8.59 (1H, s), 8.40-8.35 (1H, m), 8.20 (1H, d, J=6Hz), 8.04-7.87 (3H, m), 7.80 (1H, dd, J=2, 9Hz), 7.61 (1H, dd, J=2, 9Hz), 6.52 (1H, d, J=6Hz), 5.27-5.18 (1H, m), 4.45-4.28 (2H, m), 4.12-3.94 (2H, m), 3.81-3.71 (1H, m), 3.55-3.32 (2H, m), 3.32 (1H, d, J=17Hz), 3.23-3.15 (1H, m), 2.46 (1H, dd, J=9, 12Hz), 2.01-1.88 (1H, m), 1.79-1.60 (3H, m) |
| 11 | CDCl ₃ *:8.31-8.25 (2H, m), 7.50 (1H, d, J=15Hz), 7.49-7.38 (4H, m), 6.72-6.60 (3H, m), 5.23-5.17 (1H, m), 4.33-4.22 (2H, m), 3.87-3.80 (1H, m), 3.65-3.51 (2H, m), 3.59 (1H, d, J=17Hz), 3.44-3.27 (2H, m), 3.25-3.19 (1H, m), 2.78-2.69 (1H, m), 2.02-1.92 (1H, m), 1.88-1.69 (3H, m) |
| 12 | CDCl ₃ :14.2 (1H, brs), 8.40-8.33 (1H, m), 8.28-8.15 (2H, m), 8.02-7.92 (3H, m), 7.83-7.75 (1H, m), 7.67-7.58 (1H, m), 6.94-6.82 (2H, m), 4.45-4.26 (2H, m), 4.26-4.13 (1H, m), 3.96-3.23 (8H, m), 3.43 (3H, s), 2.86 (3H, s), 2.34 (1H, d, J=12Hz), 2.18-2.04 (1H, m), 1.96-1.79 (1H, m), 1.68-1.54 (2H, m) |
| 13 | CDCl ₃ :14.21 (1H, brs), 8.40-8.33 (1H, m), 8.28-8.15 (2H, m), 8.02-7.92 (3H, m), 7.83-7.75 (1H, m), 7.67-7.58 (1H, m), 6.94-6.82 (2H, m), 4.45-4.26 (2H, m), 4.26-4.13 (1H, m), 3.96-3.23 (8H, m), 3.43 (3H, s), 2.86 (3H, s), 2.34 (1H, d, J=12Hz), 2.18-2.04 (1H, m), 1.96-1.79 (1H, m), 1.68-1.54 (2H, m) |
| 14 | CD ₃ 0D:8.53-8.48 (1H, m), 8.16-8.03 (5H, m), 7.91-7.85 (1H, m), 7.66 (1H, dd, J=2, 9Hz), 7.18-7.08 (2H, m), 4.32-4.12 (3H, m), 4.08-3.96 (1H, m), 3.94-3.60 (4H, m), 3.58-3.42 (1H, m), 3.50 (1H, d, J=17Hz), 3.38-3.27 (1H, m), 2.69 (3H, s), 2.62 (1H, d, J=12Hz), 2.13-1.85 (2H, m), 1.72-1.53 (2H, m) |

FIG.23

| Ex. No. | NMR (270MHz) (ppm) |
|---------|---|
| | (*:300MHz) DMSO-d ₆ :13.32-13.10 (1H, br), 8.61 (1H, s), 8.34-8.12 |
| 15 | (5H, m), 7.95-7.86 (1H, m), 7.79-7.70 (1H, m), 7.24-7.14 (2H, m), 4.22-4.03 (6H, m), 3.94-2.90 (9H, m), 2.71 (1H, d, J=11Hz), 2.30 (3H, s), 1.97-1.81 (2H, m), 1.64-1.49 (2H, m), 1.26-1.16 (3H, m) |
| | CDC1 ₃ :8.40-8.35 (1H, m), 8.30-8.21 (2H, m), 8.00-7.92 |
| 17 | (3H, m), 7.82-7.76 (1H, m), 7.65-7.59 (1H, m), 6.93-6.85 (2H, m), 5.28-5.20 (1H, m), 4.44-4.32 (1H, m), 4.33 (1H, d, J=17Hz), 4.00-3.80 (3H, m), 3.65-3.40 (2H, m), 3.34 (1H, d, J=17Hz), 3.21 (1H, d, J=12Hz), 2.85 (3H, s), 2.58-2.47 (1H, m), 2.20-1.70 (4H, m) |
| 20 | CDCI ₃ *:8.37-8.33 (1H, m), 8.28-8.20 (2H, m), 7.98-7.92 (3H, m), 7.81-7.75 (1H, m), 7.65-7.59 (1H, m), 6.65-6.59 (2H, m), 4.40-4.28 (2H, m), 4.17 (1H, d, J=11Hz), 3.83-3.73 (2H, m), 3.72-3.66 (2H, m), 3.59-3.53 (2H, m), 3.38 (3H, s), 3.51-3.22 (6H, m), 2.31 (1H, d, J=12Hz), 2.09-1.98 (1H, m), 1.93-1.80 (1H, m), 1.54-1.46 (2H, m) |
| | DMSO- $d_6*:13.34-13.12$ (1H, br), 8.62 (1H, s), 8.34-8.25 |
| 21 | (2H, m), 8.24-8.13 (3H, m), 7.96-7.87 (1H, m), 7.79-7.72 (1H, m), 7.24-7.16 (2H, m), 4.18-4.02 (3H, m), 3.94-3.80 (1H, m), 3.80-3.68 (1H, m), 3.26 (3H, s), 3.68-3.15 (10H, m), 2.70 (1H, d, J=11Hz), 2.30 (3H, s), 1.94-1.81 (2H, m), 1.64-1.51 (2H, m) |
| 22 | CDCl ₃ *:8.37-8.34 (1H, m), 8.27-8.21 (2H, m), 7.99-7.92 (3H, m), 7.81-7.76 (1H, m), 7.65-7.60 (1H, m), 6.64-6.58 (2H, m), 4.55-4.48 (1H, m), 4.39 (1H, d, J=17Hz), 4.25 (1H, d, J=12Hz), 3.88 (1H, d, J=10Hz), 3.85-3.72 (3H, m), 3.72-3.60 (2H, m), 3.50-3.42 (2H, m), 3.34 (1H, d, J=17Hz), 3.42-3.23 (2H, m), 3.18 (1H, d, J=12Hz), 2.27 (1H, d, J=12Hz), 2.02-1.80 (2H, m), 1.56-1.46 (2H, m) |
| 23 | CDC1 ₃ *:8.37-8.33 (1H, m), 8.22-8.14 (2H, m), 7.99-7.92 (3H, m), 7.80-7.71 (3H, m), 7.60 (1H, dd, J=2, 9Hz), 7.15-7.07 (4H, m), 4.38-4.26 (2H, m), 4.13 (1H, d, J=12Hz), 3.96 (3H, s), 3.95-3.80 (2H, m), 3.65 (2H, s), 3.41 (3H, s), 3.63-3.35 (2H, m), 3.35 (1H, d, J=17Hz), 3.23 (1H, d, J=12), 2.35 (1H, d, J=12Hz), 2.31 (3H, s), 2.09-1.99 (1H, m), 1.90-1.76 (1H, m), 1.60-1.50 (2H, m) |
| 24 | CDCl ₃ *:8.36-8.31 (1H, m), 8.26-8.18 (2H, m), 7.98-7.90 (3H, m), 7.81-7.75 (1H, m), 7.63-7.56 (1H, m), 6.66-6.59 (2H, m), 3.81-3.72 (1H, m), 3.63 (1H, d, J=11Hz), 3.40 (3H, s), 3.50-3.22 (7H, m), 3.10-3.01 (1H, m), 2.97-2.84 (2H, m), 2.77-2.66 (1H, m), 2.25 (1H, d, J=12Hz), 2.01-1.90 (1H, m), 1.76-1.59 (3H, m) |

FIG.24

| r—— |) |
|----------|--|
| Ex. No. | N M R (270MHz) (ppm)
(*:300MHz) |
| <u> </u> | CDC1 ₃ :8.35 (1H, s), 8.30-8.20 (2H, m), 8.00-7.86 (3H, |
| | m), 7.82-7.71 (1H, m), 7.66-7.56 (1H, m), 6.66-6.57 |
| | (2H, m), 4.76 (1H, d, J=12Hz), 4.38-4.21 (3H, m), 4.11 |
| | (1H, d, J=12Hz), 3.54-3.23 (6H, m), 2.49 (1H, d, |
| 25 | J=12Hz), 1.89-1.73 (2H, m), |
| | 1.73-1.52 (2H, m), 1.41-1.29 (3H, m) |
| | CDC1 ₃ :14.43 (1H, brs), 8.36 (1H, s), 8.28-8.16 (2H, |
| | m), 8.02-7.86 (3H, m), 7.82-7.73 (1H, m), 7.66-7.57 |
| 1 | (1H, m), 6.97-6,88 (2H, m), 4.82-4.70 (1H, m), 4.40- |
| | 4.21 (3H, m), 4.14 (1H, d, J=12Hz), 3.95-3.80 (2H, m), |
| 26 | 3.66-3.29 (4H, m), 2.82 (3H, s), |
| | 2.58-2.48 (1H, m), 1.98-1.77 (2H, m), 1.77-1.63 (2H, |
| | m), 1.44-1.30 (3H, m) |
| | DMSO- d_6 *:8.60 (1H, s), 8.30 (1H, d, J=9Hz), 8.27-8.21 |
| | (1H, m), 8.21-8.08 (3H, m), 7.94-7.84 (1H, m), 7.77- |
| 1 | 7.69 (1H, m), 6.99 (2H, d, J=7Hz), 4.48 (1H, d, J=11Hz), 3.98-3.82 (2H, m), 3.76-3.54 (2H, m), 3.54- |
| 27 | 3.17 (2H, m), 3.39 (1H, d, J=16Hz), |
| L. | 3.23 (1H, d, J=12Hz), 2.71 (1H, d, J=11Hz), 1.79-1.64 |
| | (2H, m), 1.64-1.47 (2H, m) |
| | CDC1 ₃ *:8.42-8.32 (1H, m), 8.31-8.18 (2H, m), 8.02-7.88 |
| ĺ | (3H, m), 7.83-7.73 (1H, m), 7.67-7.57 (1H, m), 6.70- |
| l | 6.58 (2H, m), $4.80-4.68$ (1H, m), 4.33 (1H, d, $J=17Hz$), |
| | 4. 13 (1H, d, J=12Hz), 3.82 (3H, s), 3.56-3.25 (6H, m), |
| 28 | 2.57-2.47 (1H, m), 2.04-1.54 (4H, m) |
| | CDC1 ₃ *:8.39-8.31 (1H, m), 8.31-8.18 (2H, m), 8.00-7.88 |
| 1 | (3H, m), 7.86-7.75 (1H, m), 7.65-7.58 (1H, m), 6.64 |
| | (2H, d, J=7Hz), 5.22-5.07 (1H, m), 4.80-4.72 (1H, m), |
| } | 4.36-4.25 (1H, m), 4.07 (1H, d, J=11Hz), 3.57-3.25 |
| 29 | (6H, m), 2.46 (1H, d, J=11Hz), |
| | 1.88-1.72 (2H, m), 1.72-1.50 (2H, m), 1.39 (3H, d, |
| | J=6Hz), 1.34 (3H, d. J=6Hz) |
| | CDC1 ₃ *:8.39-8.32 (1H, m), 8.31-8.18 (2H, m), 8.00-7.88 |
| 1 | (3H, m), 7.82-7.74 (1H, m), 7.66-7.57 (1H, m), 6.70- |
| | 6.55 (2H, m), 4.83-4.70 (1H, m), 4.32 (1H, d, J=17Hz), |
| 30 | 4.25-4.05 (3H, m), 3.58-3.23 (6H, m), 2.55-2.44 (1H, m), 2.00-1.50 (6H, m), |
| 30 | 1.05-0.93 (3H, m) |
| | CDC1 ₃ *:8.36 (1H, s), 8.32-8.17 (2H, m), 8.04-7.85 (3H, |
| 1 | m), 7.83-7.72 (1H, m), 7.68-7.56 (1H, m), 6.70-6.55 |
| 1 | (2H, m), 6.05-5.85 (1H, m), 5.48-5.26 (2H, m), 4.85- |
| | 4.60 (3H, m), 4.33 (1H, d, J=17Hz), 4.12 (1H, d, |
| 31 | J=12Hz), 3.57-3.20 (6H, m), |
| | 2.51 (1H, d, J=12Hz), 1.90-1.72 (2H, m), 1.72-1.50 |
| | (2H, m) |

FIG.25

| | NIAD (270UJa) (nna) |
|---------|---|
| Ex. No. | NMR (270MHz) (ppm)
(*:300MHz) |
| | $CDCl_3 *: 8.36$ (1H, s), $8.31-8.18$ (2H, m), $8.03-7.87$ (3H, |
| | m), 7.83-7.73 (1H, m), 7.67-7.56 (1H, m), 6.72-6.56 |
| | (2H, m), 4.78(1H, d, J=12Hz), 4.45-4.25 (3H, m), 4.10 |
| | (1H, d, J=12Hz), 3.75-3.58 (2H, m), 3.40 (3H, m), |
| 32 | 3.57-3.23 (6H, m), 2.51 (1H, d, J=12Hz), |
| | 1.93-1.53 (4H, m)
CDCl ₃ *:8.38-8.32 (1H, m), 8.32-8.20 (2H, m), 8.01- |
| | 7.91 (3H, m), 7.82-7.75 (1H, m), 7.66-7.58 (1H, m), |
| | 6.68-6.60(2H, m), 4.79-4.70 (1H, m), 4.32 (1H, d, |
| | J=17Hz), 4.04 (1H, d, J=12Hz), 3.56-3.22 (5H, m), 3.28 |
| 33 | (1H, d, J=17Hz), |
| | 2.42 (1H, d, J=2, 11Hz), 1.92-1.76 (2H, m), 1.70- |
| | 1.48(2H, m), 1.58 (9H, s)
CDCl ₃ *:8.38-8.31 (1H, m), 8.31-8.16 (2H, m), 8.00-7.90 |
| | (3H, m), $7.82-7.73$ (1H, m), $7.65-7.58$ (1H, m), $6.92-$ |
| | 6.82 (1H, m), 6.70-6.60 (2H, m), 4.80-4.71 (1H, m), |
| | 4.39-4.18 (3H, m), 4.14-4.00 (1H, m), 3.64-3.20 (6H, |
| 34 | m), 2.54-2.43 (1H, m), 2.40-1.55 (7H, m), |
| | 1.40-1.29 (3H, m)
CDC1 ₃ *:8.38-8.34 (1H, m), 8.30-8.22 (2H, m), 7.99-7.92 |
| | (3H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, |
| | 9Hz), 6.66-6.60 (2H, m), 4.81-4.73 (1H, m), 4.38-4.24 |
| | (3H, m), 4.11 (1H, d, J=12Hz), 3.54-3.24 (6H, m), 2.49 |
| 35 (+) | (1H, d, J=12Hz), 1.84-1.77 (2H, m), |
| | 1.67-1.58 (2H, m), 1.36 (3H, t, J=7Hz)
CDCI ₃ *:8.38-8.34 (1H, m), 8.29-8.23 (2H, m), 7.99-7.92 |
| | (3H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, |
| | 9Hz), 6.66-6.60 (2H, m), 4.81-4.73 (1H, m), 4.38-4.24 |
| | (3H, m), 4.11 (1H, d, J=12Hz), 3.54-3.25 (6H, m), 2.49 |
| 35 (-) | |
| | 1.68-1.58 (2H, m), 1.36 (3H, t, J=7Hz) DMSO-d ₆ *:13.24 (1H, s), 8.64 (1H, s), 8.35-8.20 (5H, |
| | m), 7.95-7.85 (1H, m), 7.76 (1H, dd, $J=2$, 9Hz), 7.35- |
| | 7. 20 (2H, m), 4. 50-4. 35 (1H, m), 4. 25-4. 15 (2H, m), |
| | 4.14-4.00 (2H, m), 3.90-3.75 (2H, m), 3.65-3.20 (4H, |
| 36 | (m), 3.00-2.85 (1H, m), 2.29 (3H, s), |
| | 1.90-1.60 (4H, m), 1.25 (3H, t, J=7Hz)
DMSO-d ₆ *:8.61 (1H, s), 8.30 (1H, d, J=9Hz), 8.27-8.22 |
| | (1H, m), 8.22-8.08 (3H, m), 7.93-7.86 (1H, m), 7.77- |
| | 7.69 (1H, m), 6.98 (2H, d, J=7Hz), 4.54-4.41 (1H, m), |
| | 4.00-3.80 (2H, m), 3.80-3.16 (4H, m), 3.39 (1H, d, |
| 37 | J=16Hz), 3.23 (1H, d, J=12Hz), |
| | 2.75-2.65 (1H, m), 1.80-1.65 (2H, m), 1.65-1.45 (2H, |
| | m) |

FIG.26

| | N M R (270MHz) (ppm) |
|--------|--|
| Ex.No. | (*:300MHz) |
| | $CDCl_3*:8.39-8.33$ (1H, m), 8.30-8.22 (2H, m), 8.00-7.91 |
| | (3H, m), $7.81-7.74$ $(1H, m)$, 7.62 $(1H, dd, J=2, 9Hz)$, |
| | 6.67-6.59 (2H, m), 4.80-4.70 (1H, m), 4.33 (1H, d, |
| | J=17Hz), 4.13 (1H, d, J=11Hz), 3.82 (3H, s), 3.54-3.24 |
| 38 | (6H, m), 2.56-2.47 (1H, m), |
| | 1.90-1.55 (4H, m)
CDCl ₃ *:8.36-8.33 (1H, m), 8.30-8.21 (2H, m), 8.00-7.90 |
| | (3H, m), 7.82-7.70 (1H, m), 7.65-7.58 (1H, m), 6.68- |
| | 6.58 (2H, m), 5.22-5.08 (1H, m), 4.82-4.71 (1H, m), |
| | 4.32(1H, d, J=17Hz), 4.07 (1H, d, J=12Hz), 3.58-3.23 |
| 39 | (5H, m), 3.39 (1H, d, J=12Hz), |
| | 2.46 (1H, d, J=11Hz), 1.88-1.72 (2H, m), 1.72-1.53 |
| | (2H, m), 1.39 (3H, d, J=6Hz), 1.34 (3H, d, J=6Hz)
CDCl ₃ *:8.39-8.32 (1H, m), 8.30-8.20 (2H, m), 8.02-7.88 |
| | (3H, m), 7.83-7.73 (1H, m), 7.66-7.57 (1H, m), 6.69- |
| | 6.57 (2H, m), 4.82-4.73 (1H, m), 4.32 (1H, d, J=17Hz), |
| | 4.24-4.05 (3H, m), 3.58-3.23 (6H, m), 2.55-2.44 (1H, |
| 40 | m), 1.87-1.54 (6H, m), 1.06-0.94 (3H, m) |
| | CDC1 + 0 22_0 20 (1U =) 0 20_0 20 (2U =) 7 00_7 01 |
| | CDC1 ₃ *:8.33-8.39 (1H, m), 8.30-8.20 (2H, m), 7.99-7.91 (3H, m), 7.82-7.73 (1H, m), 7.62 (1H, dd, J=2, 9Hz), |
| | 6.67-6.58 (2H, m), 6.04-5.88 (1H, m), 5.46-5.30 (2H, |
| | m), 4.83-4.63 (3H, m), 4.33 (1H, d, J=17Hz), 4.12 (1H, |
| 41 | d, J=12Hz), 3.55-3.22 (6H, m), |
| | 2.51 (1H, d, J=12Hz), 1.85-1.75 (2H, m), 1.70-1.57 |
| | (2H, m) |
| | CDCl ₃ *:8.38-8.33 (1H, m), 8.30-8.20 (2H, m), 8.00-7.90 (3H, m), 7.83-7.74 (1H, m), 7.62 (1H, dd, J=2, 9Hz), |
| | 6.67-6.58 (2H, m), $4.83-4.73$ (1H, m), $4.42-4.27$ (3H, |
| | m), 4.09 (1H, d, J=12Hz), 3.75-3.58 (2H, m), 3.40 (3H, |
| 42 | s), 3.55-3.22 (6H, m), |
| | 2. 55-2. 46 (1H, m), 1. 92-1. 53 (4H, m) |
| | CDCl ₃ *:8.40-8.32 (1H, m), 8.32-8.19 (2H, m), 8.02- |
| | 7.89(3H, m), 7.84-7.75 (1H, m), 7.68-7.57 (1H, m), 6.70-6.59 (2H, m), 4.81-4.69 (1H, m), 4.31 (1H, d, |
| | J=17Hz), 4.04 (1H, d, $J=12Hz$), 3.57-3.20 (5H, m), 3.28 |
| 43 | (1H, d, J=17Hz), 2.48−2.37 (1H, m), |
| | 1.92-1.72 (2H, m), 1.72-1.45 (2H, m), 1.58 (9H, m) |
| | CDCl ₃ *:14.36 (1H, brs), 8.38-8.34 (1H, m), 8.25-8.17 |
| | (2H, m), 8.00-7.93 (3H, m), 7.77 (1H, dd, J=2, 9Hz), |
| | 7.62 (1H, dd, J=2, 9Hz), 7.00-6.91 (2H, m), 4.74 (1H, d, J=12Hz), 4.32 (1H, d, J=17Hz), 4.15 (1H, d, |
| 44 | J=12Hz), 3.95-3.80 (2H, m), 3.83 (3H, s), |
| | 3.63-3.35 (4H, m), 2.83 (3H, s), 2.57 (1H, d, J=12Hz), |
| | 1.95-1.78 (2H, m), 1.76-1.66 (2H, m) |

FIG.27

| F. N. | NMR (270MHz) (ppm) |
|---------|--|
| Ex. No. | (*:300MHz) |
| 49 | CDCI ₃ *:14.22 (1H, brs), 8.38-8.32 (1H, m), 8.27-8.17 (2H, m), 8.00-7.90 (3H, m), 7.82-7.74 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.99-6.89 (2H, m), 4.78-4.69 (1H, m), 4.36-4.25 (1H, m), 4.06 (1H, d, J=12Hz) 3.96-3.80 (2H, m), 3.66-3.38 (3H, m), 3.34-3.23 (1H, m), 2.83 (3H, s), 2.51-2.42 (1H, m), 1.99-1.78 (2H, m), 1.75-1.65 (2H, m), 1.58 (9H, s) |
| 50 | CD ₃ OD*:8.47 (1H, s), 8.12 (1H, d, J=9Hz), 8.12-7.99 (4H, m), 7.92-7.83 (1H, m), 7.63 (1H, dd, J=2, 9Hz), 6.82-6.74 (2H, m), 4.65-4.55 (1H, m), 4.14 (1H, d, J=16Hz), 3.89 (1H, d, J=12Hz), 3.68-3.25 (6H, m), 2.72-2.64 (1H, m), 2.02-1.87 (1H, m), 1.82-1.68 (1H, m), 1.68-1.52 (2H, m) |
| 51 (+) | CD ₃ OD*:8.49 (1H, s), 8.23-8.01 (5H, m), 7.88-7.86 (1H, m), 7.70-7.61 (1H, m), 6.89-6.71 (2H, m), 4.65-4.54 (1H, m), 4.20-4.08 (1H, m), 3.89 (1H, d, J=12Hz), 3.69-3.18 (6H, m), 2.78-2.64 (1H, m), 2.00-1.52 (4H, m) |
| 51 (-) | CD ₃ OD*:8.48 (1H, s), 8.12 (1H, d, J=9Hz), 8.16-8.00 (4H, m), 7.94-7.83 (1H, m), 7.67-7.60 (1H, m), 6.86-6.75 (2H, m), 4.63-4.53 (1H, m), 4.12 (1H, d, J=17Hz), 3.89 (1H, d, J=11Hz), 3.69-3.21 (6H, m), 2.74-2.65 (1H, m), 1.97-1.86 (1H, m), 1.81-1.52 (3H, m) |
| 52 | CDC1 ₃ *:8.33 (1H, s), 8.24-8.13 (2H, m), 7.99-7.89 (3H, m), 7.80-7.69 (3H, m), 7.59 (1H, dd, J=2, 9Hz), 7.22-7.13 (2H, m), 7.12-7.06 (2H, m), 4.79-4.68 (1H, m), 4.36-4.21 (3H, m), 4.19-4.02 (3H, m), 3.98-3.84 (2H, m), 3.56-3.28 (4H, m), 2.59-2.50 (1H, m), 2.30 (3H, s), 1.87-1.72 (2H, m), 1.70-1.55 (2H, m), 1.46-1.30 (6H, m) |
| 53 | CD ₃ OD:8.52-8.48 (1H, m), 8.16-8.04 (3H, m), 7.88 (1H, dd, J=2, 9Hz), 7.69-7.60 (3H, m), 7.04-6.95 (2H, m), 4.35-4.10 (3H, m), 3.39 (3H, s), 3.68-3.22 (8H, m), 2.58 (1H, d, J=12Hz), 1.98-1.86 (2H, m), 1.62-1.51 (2H, m) |
| 54 | CDC1 ₃ *:8.54-8.49 (2H, m), 8.36-8.33 (1H, m), 7.97-7.91 (3H, m), 7.80-7.75 (1H, m), 7.61 (1H, dd, J=2, 9Hz), 7.24-7.19 (2H, m), 4.40-4.30 (2H, m), 4.19 (1H, d, J=12Hz), 3.46 (2H, s), 3.41 (3H, s), 3.68-3.52 (2H, m), 3.32 (1H, d, J=17Hz), 3.12 (1H, d, J=12Hz), 2.66-2.54 (1H, m), 2.52-2.20 (3H, m), 2.25 (1H, d, J=12Hz), 2.00-1.77 (2H, m), 1.54-1.36 (2H, m) |

FIG.28

| | NMR (270MHz) (ppm) |
|--------------|--|
| Ex. No. | (*:300MHz) |
| | CDC1.*:8.38-8.34 (1H, m), 8.20-7.92 (5H, m), 7.78 (1H, |
| | $ AA = 2 QH_{7} \rangle$ 7 62 (1H, dd. J=2, 9Hz), 6.65-6.58 (2H, |
| | $ m\rangle$ A A2-A 30 (2H, m), 4.21 (1H, d, J=12Hz), 3.57 (1H, 1 |
| | ld. J=10Hz), 3.63 (1H, d, J=10Hz), 3.43 (3H, S), 3.50- |
| 55 | 3.18 (6H, m), 2.30 (1H, d, J=12Hz), |
| | 2.06-1.80 (2H, m), 1.59-1.50 (2H, m)
CDC1 ₃ *:8.37-8.33 (1H, m), 7.98-7.91 (3H, m), 7.81-7.75 |
| | (1H, m), 7.61 $(1H, dd, J=2, 9Hz)$, 4.40-4.30 $(2H, m)$, |
| | A 10 (14 d l=12Hz), 3.69-3.56 (2H, M), 3.42 (3H, S), |
| | 3.54-3.26 (4H, m), 3.34 (1H, d, J=17Hz), 3.18 (1H, d, |
| 56 | = 12Hz |
| | 12 06 (3H e) 1 94-1 72 (2H, m), 1.46-1.38 (2H, m) |
| | DMSO-d ₆ (100°C) *:9.04-8.70 (1H, m), 8.56-8.51 (1H, m), |
| | 8.50-8.30 (1H, m), 8.23 (1H, d, J=9Hz), 8.19-8.10 (2H, m), 7.86 (1H, dd, J=2, 9Hz), 7.71-7.65 (1H, m), 4.16- |
| | 4.03 (3H, m), 3.79-2.44 (9H, m), 3.28 (3H, s), 2.32 |
| 57 | (3H. s). 2.28-2.21 (3H, m), |
| " | 11 00_1 9 <i>4 (</i> 2H m) |
| | [CDC]:8.39-8.33 (1H, m), 8.28-8.20 (2H, m), 7.99-7.90 |
| ą. | (3H, m), 7.84-7.76 (1H, m), 7.65-7.58 (1H, m), 6.66- |
| | 6.58 (2H, m), 4.53 (1H, d, J=12Hz), 4.38 (1H, d, J=17Hz), 4.26 (1H, d, J=12Hz), 3.55-3,10 (7H, m), 2.89 |
| 58 | (1H, d, J=14Hz), 2.24 (1H, d, J=12Hz), |
| 30 | 1 04-1 84 (2H m) 1 57-1 48 (2H m) |
| | DMSO-d. \$:8.56-8.52 (1H, m), 8.22 (1H, d, J=9HZ), 8.18- |
| | $ g \cap g \cap AH = m 7.91-7.85 \cap AH = m 7.70-7.64 \cap AH = m 7.91-7.85 \cap AH = m 7.70-7.64 \cap AH = m 7.70-7.64 \cap AH = m 7.91-7.85 \cap AH = m 7.70-7.64 \cap AH = m 7.91-7.85 \cap AH = m 7.91-7.85 \cap AH = m 7.70-7.64 \cap AH = m 7.91-7.85 \cap AH = m 7.91-7.85$ |
| | 6.74-6.64 (3H, m), 4.14-3.96 (5H, m), 3.62 (1H, d, |
| 59 | J=16Hz), 3.50-3.12 (6H, m), 3.08 (1H, d, J=12Hz), 2.81 (1H, d, J=12Hz), 1.90-1.74 (2H, m), |
| 23 | 1 EO_1 A3 (2H m) 1 19-1 13 (3H, m) |
| | $ CDC _2*:8.40-8.33$ (1H, m), 8.28-8.20 (2H, m), 8.02-7.88 |
| | (3H m), $7.84-7.77$ (1H, m), 7.61 (1H, dd, $J=2$, $9Hz$), |
| | $1e \ ee_{-}e \ EQ \ (2H \ m) \ A \ 67 \ (1H \ d. \ J=11Hz). 4.3(\ (1H, \ 0, \ J=11Hz))$ |
| - | J=17Hz), 4.28-4.15 (3H, m), 3.61-3.21 (7H, m), 3.17 (1H, d, J=12Hz), 2.97 (2H, s), |
| 60 | 2.27-1.84 (3H, m), 1.56-1.46 (2H, m), 1.30 (3H, t, |
| | J=7Hz) |
| - | $CDCl_2$ *:8.37 (1H, s), 8.28-8.12 (2H, m), 8.02-7.93 (3H, |
| | $ m\rangle$ 7 85-7 77 (1H, m), 7.66-7.60 (1H, m), 6.66-6.58 |
| | $(2H_{m})$, 4.72 (1H, d, J=11Hz), 4.36 (1H, d, J=17Hz), |
| | 4.27 (1H, d, J=12Hz), 3.77-3.67 (4H, m), 3.50-3.17 |
| 61 | (6H, m), 2.94-2.46 (6H, m),
2.15 (1H, d, J=11Hz), 2.00-1.70 (2H, m), 1.54-1.43 |
| | (2H, m) |
| L | VELIS III/ |

FIG.29

| Ex. No. | N M R (270MHz) (ppm) |
|---------|--|
| 62 | $\begin{array}{c} (*:300 \text{MHz}) \\ \hline \text{DMSO-d}_6*:13.3 & \text{(1H, brs)}, 8.62 & \text{(1H, s)}, 8.33 & \text{(1H, d, J=9Hz)}, 8.31-8.16 & \text{(4H, m)}, 7.95-7.87 & \text{(1H, m)}, 7.80-7.72 & \text{(1H, m)}, 7.19 & \text{(2H, d, J=7Hz)}, 4.51-4.40 & \text{(1H, m)}, 4.34-4.05 & \text{(2H, m)}, 4.05-2.20 & \text{(17H, m)}, 2.34 & \text{(6H, s)}, 2.04-1.82 & \text{(2H, m)}, 1.66-1.46 & \text{(2H, m)} \\ \end{array}$ |
| 63 | CDCI ₃ *:8.40-8.35 (1H, m), 8.05-8.00 (1H, m), 8.00-7.93 (3H, m), 7.84-7.77 (1H, m), 7.63 (1H, dd, J=9, 2Hz), 6.49-6.35 (1H, m), 4.55-4.48 (1H, m), 4.42 (1H, d, J=12Hz), 4.42-4.33 (1H, m), 4.26 (1H. d. J=12Hz), 4.23-4.15 (1H, m), 4.05-3.80 (2H, m), 3.49-3.28 (3H, m), 3.19 (1H, d, J=12Hz), 2.37 (1H, d, J=12Hz), 2.13 (3H, s), 2.00-1.89 (1H, m), 1.85-1.73 (1H, m), 1.53-1.43 (2H, m) |
| 64 | CDCI ₃ :8.56 (1H, s), 8.40 (1H, s), 8.20-8.15 (1H, m), 8.08-7.93 (3H, m), 7.81-7.63 (3H, m), 6.50-6.44 (1H, m), 4.55-4.15 (3H, m), 4.38 (1H, d, J=17Hz), 4.26 (1H, d, J=12Hz), 3.96-3.80 (2H, m), 3.54-3.30 (2H, m), 3.38 (1H, d, J=17Hz), 3.18 (1H, d, J=12Hz), 2.36 (1H, d, J=12Hz), 2.12 (3H, s), 1.98-1.68 (2H, m), 1.52-1.43 (2H, m) |
| 65 | CDCI ₃ *:8.56 (1H, s), 8.40-8.36 (1H, m), 8.21-8.15 (1H, m), 8.02-7.94 (3H, m), 7.83-7.77 (1H, m), 7.63 (1H, dd, J=2, 8Hz), 6.50-6.46 (1H, m), 4.51 (1H, d, J=12Hz), 4.47-4.23 (3H, m), 4.19 (1H, d, J=12Hz), 3.96-3.83 (2H, m), 3.53-3.34 (3H, m), 3.18 (1H, d, J=12Hz), 2.38 (1H, d, J=12Hz), 2.13 (3H, s), 1.98-1.88 (1H, m), 1.85-1.59 (1H, m), 1.52-1.45 (2H, m) |
| 66 | CDC1 ₃ *:8.57 (1H, s), 8.39-8.35 (1H, m), 8.28-8.12 (1H, m), 7.99-7.93 (3H, m), 7.82-7.76 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.53-6.46 (1H, m), 4.49-4.24 (3H, m), 3.94 (1H, d, J=12Hz), 3.99-3.76 (2H, m), 3.73 (1H, d, J=12Hz), 3.69-3.55 (1H, m), 3.51-3.36 (2H, m), 3.20 (1H, d, J=12Hz), 2.34 (1H, d, J=12Hz), 1.98-1.60 (2H, m), 1.54-1.46 (2H, m) |
| 67 | CDCI ₃ *:8.57 (1H, s), 8.38-8.34 (1H, m), 8.22-8.17 (1H, m), 7.98-7.93 (3H, m), 7.82-7.75 (1H, m), 7.65-7.60 (1H, dd, J=2, 8Hz), 6.52-6.46 (1H, m), 4.82-4.74 (1H, m), 4.37-4.24 (3H, m), 4.11 (1H, d, J=12Hz), 3.97-3.85 (2H, m), 3.60-3.32 (4H, m), 2.50 (1H, d, J=12Hz), 1.85-1.54 (4H, m), 1.36 (3H, t, J=7Hz) |

FIG.30

| E. No | N M R (270MHz) (ppm) |
|----------|---|
| Ex. No. | |
| | CD ₃ OD+CDCl ₃ *:8.47-8.40 (2H, m), 8.10-7.99 (4H, m), 7.86 |
| | (1H, dd, J=2, 9Hz), 7.67-7.60 (1H, m), 6.70-6.64 (1H, |
| | m), 4.67 (1H, d, J=11Hz), 4.20 (1H, d, J=16Hz), 4.08- |
| 00 | 3.94 (2H, m), 3.90 (1H, d, J=12Hz), 3.68-3.31 (4H, m), |
| 68 | 2.63 (1H, d, J=11Hz),
2.02-1.92 (1H, m), 1.78-1.66 (1H, m), 1.65-1.54 (2H, |
| | |
| <u> </u> | CDC1.*:8.37-8.34 (1H. m), 8.28-8.22 (2H, m), 7.99-7.92 |
| | 1/200 -1 $7/20-7/75$ $1/14$ m) $7/65-7/59(1H, m), 6.65-$ |
| | le so (2H m) 4 35 (1H, d. J=17Hz), 4.2(-4.12 \2N, M/, |
| | 13.31 (1H, d, J=1/Hz), 3.56-3.17 (4H, M), 3.13 (11), 4, |
| 69 | 12Hz |
| | 1.93-1.83 (2H, m), 1.64 (3H, s), 1.53-1.45 (2H, m) |
| | CDC1 ₃ *:14.49 (1H, brs), 8.36 (1H, s), 8.32-8.12 (2H, m), 8.05-7.89 (3H, m), 7.79 (1H, d, J=8Hz), 7.63 (1H, |
| | d, J=9Hz), 7.05-6.75 (2H, m), 4.35 (1H, d, J=17Hz), |
| | 4. 30-4. 10 (2H, m), 4. 01-3. 70 (2H, m), 3. 70-3. 52 (1H, |
| 70 | (_) 2 52_2 22 (1H m) |
| | 2 23 (1H H = 1=17Hz), $ 3 25-3 12 (1H = m)$, $ 2 85 (3H = S)$, |
| | 2.48-2.35 (1H, m), 2.02-1.80 (2H, m), 1.72-1.49 (2H, m) |
| | m), 1.66 (3H, s)
CDCI ₃ *:8.39-8.33 (1H, m), 8.29-8.21 (2H, m), 8.00-7.90 |
| } | (3H, m), $7.83-7.76$ $(1H, m)$, $7.65-7.58$ $(1H, m)$, $6.66-$ |
| | 6.59 (2H, m), 4.40-4.26 (2H, m), 4.20 (1H, d, J=12Hz), |
| | 3.71 (3H. s). 3.59-3.48 (1H, m), 3.48-3.33 (2H, m), |
| 71 | $\frac{1}{3}$ 34 (1H, d. J=17Hz). 3.33-3.18 (1H, m), |
| | 3,13 (1H, d. J=12Hz), 2.50-2.31 (2H, m), 2.2/ (1H, a, |
| | J=12Hz), 2.14-1.70 (6H, m), 1.56-1.45 (2H, m) |
| Ì | DMSO- d_6 *:8.58 (1H, s), 8.32-7.96 (5H, m), 7.96-7.78 (1H, m), 7.74-7.60 (1H, m), 6.84-6.62 (2H, m), 4.19- |
| 7.0 | |
| 72 | |
| | CDCl ₃ *:8.36-8.33 (1H, m), 8.26-8.21 (2H, m), 7.98-7.92 |
| | $(3H_{m})$, $7.80-7.75$ (1H. m), 7.62 (1H, dd, $J=2$, $9Hz$), |
| | $ c c_A - c c_Q (2H m) - 4.36 (1H d. J=17Hz) + 4.27-4.17$ |
| | (2H, m), 4.06-4.00 (1H, m), 3.65-3.58 (1H, m), 3.53- |
| 73 | 3.16 (5H, m), 3.02 (1H, d, J=12Hz),
2.46 (1H, brs), 2.29 (1H, d, J=12Hz), 1.93-1.75 (2H, |
| l | 2.46 (1H, Drs), 2.29 (1H, u, J-12H2), 1.93 1.73 (2H) |
| | m), 1.49-1.41 (2H, m)
CDCI ₃ *:8.35 (1H, s), 8.28-8.18 (2H, m), 8.01-7.88 (3H, |
| | m), 7.82-7.72 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.68- |
| | 6 58 (2H ₂ m), 4.35 (1H, d, J=12Hz), 4.34 (1H, d, |
| | J=17Hz), 4.25-4.18 (1H, m), 4.00-3.68 (4H, m), 3.43 |
| 74 | (1H, d. J=17Hz), 3.20−3.12 (1H, m), |
| | 3.03-2.70 (2H, m), 2.42 (1H, d, J=12Hz), 2.42 (3H, s), |
| | 2.10-1.95 (1H, m), 1.84-1.66(2H, m), 1.15-1.02 (1H, m) |
| 1 | |

FIG.31

| | N M R (270MHz) (ppm) |
|---------|--|
| Ex. No. | (*:300MHz) |
| | $CDC1_3*:8.38-8.33$ (1H, m), 8.28-8.22 (2H, m), 8.00-7.90 |
| 75 | (3H, m), 7.82-7.74 (1H, m), 7.65-7.58 (1H, m), 6.65-6.55 (2H, m), 4.38-4.15 (3H, m), 3.97-3.87 (1H, m), 3.83-3.72 (1H, m), 3.75 (1H, d, J=10Hz), 3.67 (1H, d, J=10Hz), 3.38 (3H, s), 3.34 (1H, d, J=17Hz), 3.22 (1H, d, J=11Hz), 2.87-2.66 (2H, m), 2.37 (3H, s), 2.26 (1H, d, J=11Hz), 1.98-1.81 |
| | (2H, m), 1.77-1.58 (1H, m), 1.15-1.05 (1H, m) |
| 76 | $CD_3OD*:8.56-8.52$ (1H, m), 8.18-8.06 (5H, m), 7.91 (1H, dd, J=2, 9Hz), 7.66 (1H, dd, J=2, 9Hz), 7.18-7.12 (2H, m), 4.51 (1H, d, J=12Hz), 4.43-4.28 (3H, m), 4.26-4.15 (1H, m), 3.89-3.75 (2H, m), 3.50 (1H, d, J=16Hz), 3.39 (3H, s), 3.56-3.11 (3H, m), 2.77-2.66 (1H, m), 2.68 (6H, s), 2.61 (3H, s), 2.15-2.02 (2H, m), 1.93-1.77 (1H, m), 1.50-1.40 (1H. m) |
| | $CDC1_3 \pm : 8.38 - 8.32$ (1H, m), 8.28-8.20 (2H, m), 8.00-7.90 |
| 77 | (3H, m), 7.82-7.75 (1H, m), 7.61 (1H, dd, J=2, 9Hz), 6.63-6.56 (2H, m), 4.35 (1H, d, J=17Hz), 4.23-4.12 (2H, m), 3.73 (1H, d, J=10Hz), 3.48 (1H, d, J=10Hz), 3.53-3.13 (5H, m), 3.44 (3H, s), 2.97 (1H, d, J=12Hz), 2.52-2.44 (1H, brs), 2.24 (1H, d, J=12Hz), 1.91-1.69 (2H, m), 1.47-1.30 (2H, m) |
| 78 | CDCI ₃ *:8.37-8.32 (1H, m), 8.31-8.24 (2H, m), 8.00-7.90 (3H, m), 7.81-7.74 (1H, m), 7.61 (1H, d, J=2, 9Hz), 6.67-6.60 (2H, m), 5.15 (1H, d, J=13Hz), 4.67 (1H, d, J=11Hz), 4.45 (1H, d, J=17Hz), 4.34 (1H, d, J=10Hz), 4.00-3.77 (2H, m), 3.89 (1H, d, J=10Hz), 3.51-3.28 (2H, m), 3.36 (3H, s), 3.05-2.90 (1H, m), 2.85-2.71 (1H, m), 2.55-2.38 (1H, m), 2.32 (1H, d, J=13Hz), 2.20-1.95 (2H, m), 2.10 (3H, s), 1.41-1.22 (1H, m) |
| 79 | CDCI ₃ *8.40-8.35 (1H, m), 8.28-8.20 (2H, m), 8.07-7.93 (3H, m), 7.81-7.63 (3H, m), 6.65-6.57 (2H, m), 4.36 (1H, d, J=17Hz), 4.24-4.14 (2H, m), 3.74 (1H, d, J=9Hz), 3.53-3.13 (6H, m), 3.45 (3H, s), 2.97 (1H, d, J=12Hz), 2.51-2.44 (1H, brs), 2.24 (1H, d, J=12Hz), 1.92-1.68 (2H, m), 1.47-1.28 (2H, m) |
| 80 | CDC1 ₃ *:8.40-8.34 (1H, m), 8.28-8.21 (2H, m), 8.07-7.92 (3H, m), 7.79-7.62 (3H, m), 6.66-6.57 (2H, m), 4.34 (1H, d, J=17Hz), 4.28-4.14 (2H, m), 3.97-3.87 (1H, m), 3.83-3.65 (3H, m), 3.38 (3H, s), 3.35 (1H, d, J=17Hz), 3.21 (1H, d, J=11Hz), 2.88-2.67 (2H, m), 2.37 (3H, s), 2.24 (1H, d, J=12Hz), 1.98-1.80 (2H, m), 1.77-1.62 (1H, m), 1.15-1.05 (1H, m) |

FIG.32

| Ex. No. | NMR (270MHz) (ppm) |
|---------|---|
| | (*:300MHz) |
| | $ CDC1_3*:8.40-8.36 $ (1H, m), 8.28-8.20 (2H, m), 8.07-7.92 |
| [| (3H, m), 7.81-7.60 (3H, m), 6.64-6.58 (2H, m), 4.43- |
| | 4.32 (2H, m), 4.20 (1H, d, J=12Hz), 3.72-3.60 (2H, m), |
| | 3.50-3.17 (5H, m), 3.44 (3H, s), 3.35 (1H, d, J=17Hz), |
| 81 | 2.28 (1H, d, J=12Hz), |
| | 2.03-1.78 (2H, m), 1.54-1.46 (2H, m) |
| | $CDCi_3$ *:8.40-8.36 (1H, m), 8.28-8.20 (2H, m), 8.06-7.92 |
| | (3H, m), 7.79-7.64 (3H, m), 6.64-6.57 (2H, m), 4.43- |
| | 4.30 (2H, m), 4.20 (1H, d, J=12Hz), 3.68 (1H, d, |
| | J=10Hz), 3.63 (1H, d, J=10Hz), 3.49-3.17 (5H, m), 3.44 |
| 82 | (3H, s), 3.35 (1H, d, J=17Hz), |
| | 2.28 (1H, d, J=12Hz), 2.03-1.79 (2H, m), 1.53-1.45 |
| | (2H, m) |

| Ex. No. | NMR(ppm)
(*:300MHz, 270MHz) |
|---------|---|
| 201 | CDCl ₃ *:8.30-8.23 (2H, m), 7.37-7.18 (3H, m), 7.07-6.88 (2H, m), 6.70-6.63 (2H, m), 5.21-5.15 (1H, m), 4.94-4.82 (2H, m), 4.31-4.20 (2H, m), 3.84 (1H, d, J=12Hz), 3.66 (1H, d, J=17Hz), 3.67-3.52 (2H, m), 3.43-3.25 (2H, m), 3.22 (1H, d, J=12Hz), 2.89-2.80 (1H, m), 2.01-1.91 (1H, m), 1.89-1.70 (3H, m) |
| 202 | CDCl ₃ *:8.32-8.24 (2H, m), 7.30 (1H, s), 7.17-7.11 (1H, m), 7.04-6.91 (2H, m), 6.70-6.63 (2H, m), 5.22-5.15 (1H, m), 4.95-4.82 (2H, m), 4.32-4.18 (2H, m), 3.85 (1H, d, J=12Hz), 3.65 (1H, d, J=17Hz), 3.65-3.53 (2H, m), 3.43-3.18 (3H, m), 2.90-2.80 (1H, m), 2.02-1.91 (1H, m), 1.89-1.70 (3H, m) |
| 209 | CDCl ₃ *:8.29-8.24 (2H, m), 7.96-7.86 (3H, m), 7.58-7.48 (2H, m), 6.69-6.64 (2H, m), 5.23 (1H, d, J=4, 9Hz), 4.42-4.30 (2H, m), 3.78 (1H, d, J=12Hz), 3.65-3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.45-3.16 (3H, m), 2.56 (1H, dd, J=9, 12Hz), 2.01-1.92 (1H, m), 1.85-1.62 (3H, m) |
| 210 | CDCl ₃ *:8.30-8.24 (2H, m), 7.87-7.81 (1H, m), 7.83 (1H, s), 7.62-7.56 (1H, m), 7.36-7.28 (1H, m), 6.68-6.63 (2H, m), 5.26-5.19 (1H, m), 4.41-4.29 (2H, m), 3.78 (1H, d, J=12Hz), 3.63-3.49 (2H, m), 3.48 (1H, d, J=17Hz), 3.43-3.24 (2H, m), 3.20 (1H, d, J=12Hz), 2.62-2.52 (1H, m), 2.00-1.90 (1H, m), 1.84-1.62 (3H, m) |
| 211 | CDCl ₃ *:8.30-8.24 (2H, m), 7.93-7.90 (1H, m), 7.85-7.79 (2H, m), 7.54-7.48 (1H, m), 6.69-6.63 (2H, m). 5.22 (1H, dd, J=4, 9Hz), 4.41-4.28 (2H, m), 3.82-3.75 (1H, m), 3.64-3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.43-3.24 (2H, m), 3.24-3.18 (1H, m), 2.57 (1H, dd, J=9, 12Hz), 2.00-1.91 (1H, m), 1.84-1.63 (3H, m) |
| 213 | CDCl ₃ *:8.30-8.24 (2H, m), 7.90-7.88 (1H, m), 7.86 (1H, d, J=9Hz), 7.85-7.83 (1H, m), 7.48 (1H, dd, J=2, 9Hz), 6.69-6.64 (2H, m), 5.26-5.19 (1H, m), 4.41-4.29 (2H, m), 3.78 (1H, d, J=12Hz), 3.64-3.50 (2H, m), 3, .47 (1H, d, J=17Hz), 3.43-3.24 (2H, m), 3.21 (1H, d, J=12Hz), 2.62-2.52 (1H, m), 2.01-1.91 (1H, m), 1.85-1.64 (3H, m) |
| 219 | CDCl ₃ *:8.30-8.24 (2H, m), 7.94 (1H, d, J=6Hz), 7.80 (1H, s), 7.67 (1H, d, J=9Hz), 6.70-6.63 (2H, m), 5.26-5.19 (1H, m), 4.42-4.28 (2H, m), 3.83-3.75 (1H, m), 3.64-3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.43-3.24 (2H, m), 3.24-3.17 (1H, m), 2.63-2.52 (1H, m),2.01-1.90 (1H, m), 1.86-1.55 (3H, m) |

| Ex. No. | NMR(ppm)
(*:300MHz, 270MHz) |
|---------|---|
| 220 | CDCl ₃ *:8.30-8.25 (2H, m), 7.86-7.82 (1H, m), 7.78 (1H, d, J=9Hz), |
| | 7.54-7.48 (1H, m), 6.79-6.73 (2H, m), 5.25-5.17 (1H, m), 4.45-4.33 |
| | (2H, m), 3.79 (1H, d, J=12Hz), 3.64–3.47 (2H, m), 3.52 (1H, d, |
| | J=17Hz), 3.44-3.17 (3H, m), 2.72 (3H, s), |
| | 2 70-2.60 (1H, m), 2.01-1.93 (1H, m), 1.85-1.65 (3H, m) |
| 223 | CDCl ₃ *:8.31-8.24 (2H, m), 7.72-7.68 (1H, m), 7.54-7.45 (2H, m), |
| | 7.41-7.39 (1H, m), 6.69-6.64 (2H, m), 5.22-5.15 (1H, m), 4.48-4.34 |
| | (2H, m), 3.80 (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), 3.64-3.51 |
| | (2H, m), 3.43-3.25 (2H, m), 3.20 (1H, d, |
| | J=12Hz), 2.82-2.72 (1H, m), 1.99-1.89 (1H, m), 1.87-1.66 (3H, m) |
| | 200 1 2 2 2 2 5 (011) 7 00 (111 d 1-011-) 7 60 (111 dd 1-0 |
| | CDCl ₃ *:8.30-8.25 (2H, m), 7.86 (1H, d, J=2Hz), 7.62 (1H, dd, J=2, |
| 224 | 9Hz), 7.46 (1H, d, J=9Hz), 7.41-7.38 (1H, m), 6.69-6.63 (2H, m), 5.22-5.13 (1H, m), 4.48-4.40 (1H, m), 4.38 (1H, d, J=17Hz), 3.80 |
| | (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), 3.65- |
| | 3.50 (2H, m), 3.43-3.15 (3H, m), 2.82-2.72 (1H, m), 1.98-1.88 (1H, |
| | m), 1.86–1.66 (3H, m) |
| 275 | CDCl ₃ *:8.30-8.24 (2H, m), 7.90-7.82 (3H, m), 7.48 (1H, dd, J=2, |
| | 9Hz), 6.68-6.63 (2H, m), 4.83-4.72 (1H, m), 4.37-4.28 (1H, m), |
| | 4.31 (1H, d, J=17Hz), 3.59 (1H, d, J=12Hz), 3.47 (1H, d, J=17Hz), |
| | 3.55-3.33 (4H, m), 3.22 (1H, d, J=12Hz), |
| | 2.53-2.43 (1H, m), 1.85-1.55 (5H, m) |
| | CDCl ₃ *:8.30-8.23 (2H, m), 7.73-7.68 (1H, m), 7.53-7.44 (2H, m), |
| 285 | 7.39 (1H, s), 6.70–6.63 (2H, m), 4.78–4.67 (1H, m), 4.45–4.32 (2H, |
| | m), 3.70–3.57 (2H, m), 3.55–3.32 (4H, m), 3.22 (1H, d, J=12Hz), |
| | 2.74-2.63 (1H, m), 1.85-1.57 (5H, m)
CDCl ₃ *:8.31-8.25 (2H, m), 7.90-7.82 (3H, m), 7.47 (1H, dd, J=2, |
| 337 | 9Hz), 6.70–6.63 (2H, m), 4.37–4.18 (3H, m), 4.05–3.87 (2H, m), |
| | 3.73 (1H, d, J=12Hz), 3.48 (1H, d, J=17Hz), 3.40 (1H, d, J=12Hz), |
| | 2.95–2.72 (2H, m), 2.45 (1H, dd, J=9, |
| | 11Hz), 2.30 (3H, s), 2.00–1.87 (1H, m), 1.86–1.73 (1H, m), 1.58– |
| | 1 49 (1H m) 1 47-1 37 (1H m) |
| | CDCl ₃ *:8.29 (2H, dd, J=1, 5Hz), 7.72-7.68 (1H, m), 7.53-7.43 (2H, |
| 347 | m), 7.39 (1H, d, J=1Hz), 6.67 (2H, dd, J=2, 5Hz), 4.40-4.20 (3H, |
| | m), 4.05–3.88 (2H, m), 3.77–3.70 (1H, m), 3.66 (1H, d, J=17Hz), |
| | 3.46-3.39 (1H, m), 2.95-2.73 (2H, m), |
| 1 | 2.64 (1H, dd, J=9, 11Hz), 2.30 (3H, s), 2.01-1.88 (1H, m), 1.86- |
| | 1.73 (1H, m), 1.57-1.39 (2H, m) |

| Ex. No. | NMR(ppm) |
|----------|---|
| LX. 140. | (*:300MHz, 270MHz) |
| 388 | CDCl ₃ *:8.30-8.22 (2H, m), 7.28 (1H, s), 7.16-7.11 (1H, m), 7.03- |
| | 6.97 (1H, m), 6.95-6.90 (1H, m), 6.68-6.62 (2H, m), 4.96-4.82 (2H, |
| | m), 4.32-4.18 (3H, m), 3.69 (1H, d, J=17Hz), 3.65-3.30 (6H, m), |
| | 3.41 (3H, s), 3.23 (1H, d, J=12Hz), 2.74 |
| | (1H, d, J=12Hz), 2.05–1.82 (2H, m), 1.73–1.57 (2H, m) |
| 399 | CDCl ₃ *:8.29-8.22 (2H, m), 7.90 (1H, d, J=2Hz), 7.88-7.84 (1H, m), |
| | 7.84 (1H, s), 7.51–7.45 (1H, m), 6.66–6.60 (2H, m), 4.39–4.30 (2H, |
| | m), 4.23 (1H, d, J=12Hz), 3.69-3.60 (2H, m), 3.50 (1H, d, J=17Hz), |
| | 3.50-3.26 (4H, m), 3.44 (3H, s), 3.23 |
| | (1H, d, J=12Hz), 2.43 (1H, d, J=12Hz), 2.05-1.82 (2H, m), 1.60- |
| | 1.50 (2H, m) |
| | GDCl ₃ *:8.30-8.22 (2H, m), 7.73-7.69 (1H, m), 7.56-7.45 (2H, m), |
| 409 | 7.40 (1H, s), 6.67–6.61 (2H, m), 4.45–4.34 (2H, m), 4.25 (1H, d, |
| 409 | J=11Hz), 3.73 (1H, d, J=17Hz), 3.63 (1H, d, J=10Hz), 3.59 (1H, d, |
| | J=10Hz), 3.41 (3H, s), 3.52-3.20 (5H, m), |
| ļ | 2.63 (1H, d, J=12Hz), 2.05–1.82 (2H, m), 1.64–1.55 (2H, m) |
| 461 | CDCl ₃ *:8.24 (2H, d, J=6Hz), 7.92–7.82 (3H, m), 7.51–7.45 (1H, m), |
| | 6.62 (2H, d, J=6Hz), 4.33 (1H, d, J=17Hz), 4.21 (1H, d, J=12Hz), |
| | 4.16 (1H, d, J=12Hz), 3.74–3.68 (1H, m), 3.55–3.18 (6H, m), 3.45 |
| | (3H, s), 2.99 (1H, d, J=12Hz), 2.49 (1H, s), 2.38 (1H, d, J=12Hz), 1.92-1.70 (2H, m), 1.50-1.40 (2H, m) |
| | CDCl ₃ *:8.28-8.23 (2H, m), 7.73-7.69 (1H, m), 7.55-7.45 (2H, m), |
| | 7.40–7.38 (1H, m), 6.67–6.60 (2H, m), 4.43–4.34 (1H, m), 4.27–4.20 |
| 471 | (1H, m), 4.21 (1H, d, J=12Hz), 3.74–3.66 (1H, m), 3.67 (1H, d, |
| 1 | J=10Hz), 3.50–3.20 (4H, m), 3.45 (1H, d, |
| | J=10Hz), 3.42 (3H, s), 3.05-2.97 (1H, m), 2.57 (1H, d, J=12Hz), |
| | 2.49 (1H, s), 1.93–1.71 (2H, m), 1.55–1.44 (2H, m) |
| | CDCl ₃ *:8.27-8.23 (2H, m), 7.90-7.82 (3H, m), 7.50-7.45 (1H, m), |
| 523 | 6.66-6.61 (2H, m), 4.37-4.28 (1H, m), 4.26-4.17 (2H, m), 3.98-3.63 |
| | (4H, m), 3.54-3.45 (1H, m), 3.38 (3H, s), 3.26-3.20 (1H, m), 2.89- |
| | 2.69 (2H, m), 2.43-2.36 (1H, m), 2.38 (3H, s), |
| | 1.99-1.66 (3H, m), 1.20-1.11 (1H, m) |
| | CDCl ₃ *:8.28-8.23 (2H, m), 7.72-7.68 (1H, m), 7.54-7.44 (2H, m), |
| 533 | 7.39-7.37 (1H, m), 6.67-6.62 (2H, m), 4.42-4.33 (1H, m), 4.29-4.21 |
| | (1H, m), 4.26 (1H, d, J=12Hz), 3.98-3.77 (2H, m), 3.75-3.68 (1H, |
| | m), 3.71 (1H, d, J=10Hz), 3.60 (1H, d, |
| | J=10Hz), 3.35 (3H, s), 3.27-3.21 (1H, m), 2.91-2.69 (2H, m), 2.58 |
| | (1H, d, J=12Hz), 2.38 (3H, s), 1.99-1.69 (3H, m), 1.23-1.16 (1H, m) |
| | |

| | NMR(ppm) |
|---------|--|
| Ex. No. | (*:300MHz, 270MHz) |
| | CDCl ₃ *:8.30-8.25 (2H, m), 7.67-7.58 (2H, m), 7.46-7.36 (2H, m), |
| | 6.70-6.65 (2H, m), 5.24-5.16 (1H, m), 4.50-4.37 (1H, m), 4.37 (1H, |
| 574 | d, J=17Hz), 3.81 (1H, d, J=12Hz), 3.70–3.51 (3H, m), 3.45–3.25 |
| | (2H, m), 3.20 (1H, d, J=12Hz), 2.82-2.73 (1H, |
| | m), 1.99-1.90 (1H, m), 1.87-1.65 (3H, m) |
| | CDCl ₃ *:9.55 (1H, brs), 8.28 (2H, d, J=5Hz), 7.73 (1H, d, J=8Hz), |
| F00 | 7.48 (1H, d, J=8Hz), 7.45-7.37 (1H, m), 7.32-7.21 (1H, m), 7.13 |
| 580 | (1H, s), 6.66 (2H, d, J=5Hz), 5.20-5.12 (1H, m), 4.36-4.26 (2H, m), |
| | 3.76 (1H, d, J=11Hz), 3.64-3.48 (2H, |
| | m), 3.43 (1H, d, J=17Hz), 3.42-3.12 (3H, m), 2.54-2.44 (1H, m), |
| | 1.98-1.86 (1H, m), 1.82-1.60 (3H, m) |
| | CDCl ₃ *:8.30-8.25 (2H, m), 7.54-7.47 (1H, m), 7.13-7.10 (1H, m), |
| 600 | 6.95-6.92 (1H, m), 6.70-6.65 (2H, m), 6.36-6.28 (1H, m), 5.19 (1H, |
| | dd, J=4, 9Hz), 4.30-4.18 (2H, m), 3.84 (1H, d, J=12Hz), 3.64-3.53 |
| | (2H, m), 3.58 (1H, d, J=17Hz), 3.44-3.27 |
| | (2H, m), 3.22 (1H, d, J=12Hz), 2.73 (1H, dd, J=9, 12Hz), 2.01-1.92 (1H, m), 1.89-1.70 (3H, m) |
| | CDCl ₃ *:8.30-8.24 (2H, m), 7.54-7.45 (1H, m), 7.14-7.09 (1H, m), |
| | 6.96-6.90 (1H, m), 6.70-6.63 (2H, m), 6.37-6.28 (1H, m), 4.80-4.66 |
| 628 | (1H, m), 4.27–4.15 (2H, m), 3.64 (1H, d, J=12Hz), 3.58 (1H, d, |
| | J=17Hz), 3.56-3.35 (4H, m), 3.25 (1H, d, |
| | J=12Hz), 2.68-2.58 (1H, m), 1.90-1.60 (5H, m) |
| | CDCI ₃ *:8.32-8.25 (2H, m), 7.49 (1H, d, J=15Hz), 7.13-7.09 (1H, |
| 050 | m), 6.96-6.91 (1H, m), 6.71-6.65 (2H, m), 6.31 (1H, d, J=15Hz), |
| 656 | 4.29-4.10 (3H, m), 4.05-3.90 (2H, m), 3.79-3.71 (1H, m), 3.59 (1H, |
| | d, J=17Hz), 3.52-3.43 (1H, m), 2.97-2.75 |
| | (2H, m), 2.58 (1H, dd, J=9, 12Hz), 2.29 (3H, s), 2.02-1.89 (1H, m), |
| | 1.87-1.73 (1H, m), 1.71-1.42 (2H, m) |
| - | CDCl ₃ *:8.30-8.23 (2H, m), 7.50 (1H, d, J=15Hz), 7.14-7.10 (1H, |
| 684 | m), 6.96-6.92 (1H, m), 6.69-6.62 (2H, m), 6.31 (1H, d, J=15Hz), 4.30-4.18 (3H, m), 3.67-3.56 (3H, m), 3.52-3.30 (4H, m), 3.43 (3H, |
| | 4.30-4.18 (3H, m), 3.67-3.56 (3H, m), 3.52-3.30 (4H, m), 3.43 (5H, s), 3.24 (1H, d, J=12Hz), 2.62 (1H, d, |
| | J=12Hz), 2.05-1.83 (2H, m), 1.68-1.60 (2H, m) |
| | CDCl ₃ *:8.30-8.23 (2H, m), 7.48 (1H, d, J=15Hz), 7.11 (1H, d, |
| 712 | J=4Hz), 6.93 (1H, d, J=4Hz), 6.69-6.62 (2H, m), 6.31 (1H, d, |
| | J=15Hz), 4.25 (1H, d, J=12Hz), 4.22 (1H, d, J=17Hz), 4.07-4.01 |
| | (1H, m), 3.68 (1H, d, J=10Hz), 3.56 (1H, d, J=17Hz), |
| | 3.52-3.25 (4H, m), 3.47 (1H, d, J=10Hz), 3.43 (3H, s), 3.01(1H, d, |
| | J=12Hz), 2.58-2.52 (1H, m), 2.48 (1H, s), 1.95-1.72 (2H, m), 1.57- |
| | 1.50 (2H, m) |

| | NMR(ppm) |
|---------|--|
| Ex. No. | (+,300 v 112, 270 v 112) |
| | CDCl ₃ *:8.29-8.24 (2H, m), 7.52-7.45 (1H, m), 7.11 (1H, d, J=4Hz), |
| 740 | 6.93 (1H, d, J=4Hz), 6.68-6.64 (2H, m), 6.33-6.26 (1H, m), 4.32- |
| 740 | 4.17 (2H, m), 4.14-4.08 (1H, m), 3.99-3.81 (2H, m), 3.71 (1H, d, |
| | J=10Hz), 3.66-3.56 (1H, m), 3.62 (1H, |
| | d, J=10Hz), 3.38 (3H, s), 3.27-3.21 (1H, m), 2.95-2.71 (2H, m), |
| | 2.58-2.53 (1H, m), 2.37 (3H, s), 2.01-1.73 (3H, m), 1.30-1.21 (1H, |
| | m)
CDCl ₃ *:8.41-8.36 (1H, m), 8.27 (2H, dd, J=1, 5Hz), 8.06-7.93 (3H, |
| | m), 7.80–7.64 (3H, m), 6.65 (2H, dd, J=1, 5Hz), 5.24–5.17 (1H, m), |
| 759 | 4.43-4.30 (2H, m), 3.75 (1H, d, J=12Hz), 3.62-3.47 (2H, m), 3.43- |
| | 3.21 (2H, m), 3.31 (1H, d, J=17Hz), 3.18 |
| | (1H, d, J=12Hz), 2.48-2.38 (1H, m), 1.99-1.90 (1H, m), 1.82-1.60 |
| | (3H, m) |
| | CDCl ₃ *:8.40-8.36 (1H, m), 8.30-8.24 (3H, m), 8.24-8.18 (1H, m), |
| | 8.06-8.01 (1H, m), 7.58 (1H, d, J=9Hz), 6.69-6.63 (2H, m), 5.24- |
| 760 | 5.17 (1H, m), 4.44-4.29 (2H, m), 3.80-3.73 (1H, m), 3.63-3.48 (2H, |
| 1 | m), 3.42-3.23 (2H, m), 3.33 (1H, d, J=17Hz), |
| ŀ | 3.22-3.16 (1H, m), 2.54-2.45 (1H, m), 2.00-1.90 (1H, m), 1.84-1.58 |
| | (3H, m) |
| | CDCl ₃ *:8.27 (2H, d, J=6Hz), 7.87 (1H, s), 7.66-7.60 (1H, m), 7.56- |
| 761 | 7.50 (1H, m), 7.43 (1H, s), 6.67 (2H, d, J=6Hz), 5.23-5.15 (1H, m), |
| / 0 ! | 4.50-4.33 (2H, m), 3.80 (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), |
| | 3.66-3.50 (2H, m), 3.45-3.24 (2H, m), 3.20 (1H, d, J=12Hz), 3.12 (1H, s), 2.83-2.72 (1H, m), 2.00-1.67 (4H, m) |
| | (1H, d, J=12Hz), 3.12 (1H, s), 2.83-2.72 (1H, H), 2.88 (4H, H) |
| | CDCl ₃ *:8.38-8.34 (1H, m), 8.27 (2H, dd, J=2, 5Hz), 7.99-7.90 (3H, |
| 705 | m), 7.82-7.76 (1H, m), 7.65-7.59 (1H, m), 6.65 (2H, dd, J=2, 5Hz), |
| 765 | 4.80-4.69 (1H, m), 4.40-4.32 (1H, m), 4.32 (1H, d, J=16Hz), 3.59- |
| 1 | 3.28 (6H, m), 3.20 (1H, d, J=12Hz), 2.40-2.30 |
| | (1H, m), 1.85–1.50 (5H, m) |
| | CDCl ₃ *:8.38-8.33 (1H, m), 8.31-8.24 (2H, m), 7.98-7.89 (3H, m), |
| 769 | 7.81-7.76 (1H, m), 7.63-7.58 (1H, m), 6.70-6.62 (2H, m), 4.36-4.22 |
| 1 | (3H, m), 4.04–3.85 (2H, m), 3.70 (1H, d, J=11Hz), 3.40–3.27 (2H, |
| | m), 2.94-2.70 (2H, m), 2.37-2.25 (1H, m), |
| | 2.30 (3H, s), 1.99-1.73 (2H, m), 1.65-1.49 (1H, m), 1.44-1.34 (1H, |
| | m) CDCl ₃ *:8.39-8.35 (1H, m), 8.29-8.19 (4H, m), 8.05-8.00 (1H, m), |
| | 7.59 (1H, d, J=9Hz), 6.64-6.59 (2H, m), 4.41-4.32 (2H, m), 4.21 |
| 770 | (1H, d, J=12Hz), 3.69-3.58 (2H, m), 3.49-3.18 (5H, m), 3.43 (3H, |
| | s), 3.37 (1H, d, J=17Hz), 2.34 (1H, d, J=12Hz), |
| | 2.03-1.81 (2H, m), 1.55-1.49 (2H, m) |
| L | 1 |

| Ex. No. | NMR(ppm) |
|---------|---|
| 200. | (*:300MHz, 270MHz) |
| | DMSO-d ₆ *:13.25 (1H, s), 8.59 (1H, s), 8.28-8.17 (4H, m), 8.14- |
| 776 | 8.08 (1H, m), 7.88 (1H, dd, J=2, 9Hz), 7.80-7.68 (2H, m), 7.23 (2H, |
| //0 | d, J=7Hz), 5.25-5.18 (1H, m), 4.23-4.14 (1H, m), 4.06 (1H, d, |
| | J=17Hz), 4.00-3.81 (2H, m), 3.71 (1H, d, |
| ŀ | J=12Hz), 3.62-3.35 (3H, m), 3.15 (1H, d, J=12Hz), 2.75-2.65 (1H, |
| | m), 2.31 (3H, s), 2.00-1.52 (4H, m) |
| | DMSO-d ₆ *:13.22 (1H, s), 8.39-8.34 (1H, m), 8.25-8.15 (3H, m), |
| 777 | 8.12-8.07 (1H, m), 7.63-7.57 (1H, m), 7.19 (2H, d, J=7Hz), 4.18- |
| /// | 4.02 (3H, m), 3.92-3.28 (7H, m), 3.33 (3H, s), 3.20 (1H, d, J=12Hz), |
| } | 2.82 (1H, d, J=11Hz), 2.30 (3H, s), |
| | 1.94-1.78 (2H, m), 1.70-1.48 (2H, m) |
| | DMSO-d ₆ *:13.23 (1H, s), 8.26-8.18 (2H, m), 7.65-7.57 (1H, m), |
| 778 | 7.52 (1H, d, J=4Hz), 7.26-7.19 (3H, m), 7.09-7.02 (1H, m), 4.24- |
| //0 | 4.17 (1H, m), 4.01-3.74 (5H, m), 3.62-3.47 (4H, m), 3.32 (3H, s), |
| l | 3.26-3.20 (1H, m), 2.90-2.84 (1H, m), 2.30 (3H, |
| | s), 1.93-1.83 (2H, m), 1.71-1.60 (2H, m) |
| İ | DMSO-d ₆ *:13.23 (1H, s), 8.28-8.15 (2H, m), 7.99-7.72 (3H, m), |
| 779 | 7.67-7.57 (1H, m), 7.28-7.14 (2H, m), 4.23-4.03 (3H, m), 3.93-3.71 |
| 113 | (3H, m), 3.67-3.15 (5H, m), 3.32 (3H, s), 3.07-2.97 (1H, m), 2.30 |
| | (3H, s), 1.98-1.77 (2H, m), 1.70-1.53 (2H, m) |
| | DMSO-d ₆ *:13.23 (1H, s), 8.27-8.18 (2H, m), 7.52-7.46 (1H, m), |
| 780 | 7.50 (1H, s), 7.26-7.20 (2H, m), 7.12 (1H, dd, J=2, 8Hz), 7.08-7.06 |
| /80 | (1H, m), 5.02 (2H, s), 4.20 (1H, d, J=12Hz), 4.05-3.75 (5H, m), |
| | 3.65-3.45 (4H, m), 3.31 (3H, s), 3.23 (1H, d, |
| | J=12Hz), 3.03 (1H, d, J=11Hz), 2.29 (3H, s), 2.00~1.55 (4H, m) |

<TABLE A>

COORDINATES OF THE ACTIVE CENTER SITES IN THE CRYSTAL STRUCTURE OF COMPOUND A - FXA COMPLEX ARE SHOWN BELOW IN PDB FORMAT

| MMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMMM | 824
825
826
827
828
829
1577
1578
1579
1580
1581
1582 | HG12 CCONHCCBCCCCCCCCCCCCCCCCCCCCCCCCCCCCCCCC | 2222
2222
2222
2222
2222
2222
2222
2222
2222 | \$\\(966666666677777777777788888889999999999 | (a) 4.745
(b) 4.745
(c) 4.745
(d) 4.745
(e) 4.745
(e) 4.745
(e) 4.745
(e) 4.745
(e) 4.745
(e) 4.745
(e) 4.775
(e) 4.775 | 293810.293810.293810.293910.29 | 8 4812454
8 4812454
8 4812454
8 4812454
8 4812454
8 4812454
8 10.43454
8 10.585239
9 10.7815
8 10.781 | 9 |
|--|--|---|--|--|--|--|--|--------------------------------------|
| ATOM
ATOM
ATOM | 1585 | CE2 F | PHE
PHE
PHE | 174
174
174 | -1.582
-1.288
-1.148 | 17.407
19.729
18.407 | 6.477
6.002
5.632 | 1.00 4.74
1.00 8.59
1.00 11.56 |

FIG.40

CONTINUED FROM (TABLE A)

| M M M M M M M M M M M M M M M M M M M | 1588
1588
1588
1588
1744
1774
1774
1775
1775
1775
1775
1775 | ONHCCCOOCONHCCCOOKHCCCCCONHCCONHCCONHCCCONHCCONHCCCONHCC | ASPPAAAAAASSSSSSSSSSSSSSSSSSSSSSSSSSSS | 1778888889999000001111111111111111111111 | | -4. 6588 9. 3044 8. 4084 8. 4084 8. 6. 299 8. 5. 2370 10. 3370 10. 325 | 20.738
31.948
34.162
32.569
32.074
30.818 | 11.654
10.783
10.443
11.126
10.052
10.447
11.005 | 1.00 20.64
1.00 12.09
1.00 0.00
1.00 14.13
1.00 18.27
1.00 29.21
1.00 26.93
1.00 28.08 |
|---------------------------------------|--|--|--|--|--|--|--|--|---|
|---------------------------------------|--|--|--|--|--|--|--|--|---|

FIG.41

CONTINUED FROM (TABLE A)

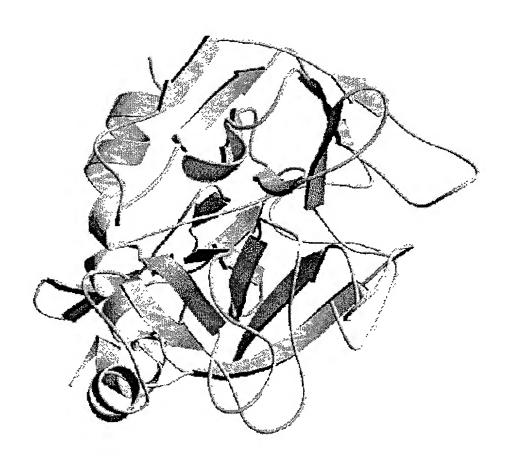
| MOTA
MOTA
MOTA
MOTA
MOTA
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MOTA
MOTA | 1967
1968
1969
1970
1971
1972
1973
1974
1975
1977
1978
1981
1982
1983
1984
1988
1988
1988
1988
1988
1988
1988 | | YALL YALL YALL YALL YALL YALL SERR SERR TRPP TRPP TRPP TRPP TRPP TRPP | - | 10. 21:
11. 36:
11. 55:
9. 83:
10. 63:
8. 54:
8. 90:
8. 54:
8. 90:
8. 23:
8. 49:
7. 59:
8. 23:
8. 49:
11. 81:
12. 63:
12. 63:
13. 63:
14. 63:
14. 63:
15. 63:
16. 63:
17. 63:
17. 63:
18. 63: | 23. 958
24. 047
4 25. 346
3 21. 980
21. 995
21. 980
22. 664
4 20. 737
1 20. 152
1 18. 937
1 18. 601
20. 874
20. 305
21. 567
21. 567
21. 582
21. 750 | 15. 352
13. 872
15. 896
15. 338
15. 120
14. 921
14. 221
15. 020
14. 453
15. 008
12. 474
11. 862
12. 478
13. 213
11. 0. 632
11. 450 | 2 1.00 4.3
2 1.00 2.0
5 1.00 2.0
6 1.00 5.7
1.00 8.3
1.00 0.0
1.00 8.8
1.00 10.3
1.00 8.5
1.00 10.3 | 2000104054605000452191620 |
|--|--|----------------|---|--|--|---|---|--|---------------------------|
| ATOM
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ATOM | 2001
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2023
2023 | CONHCCONHCCOCS | GLUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUUU | 217
217
218
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218
220
220
220
220
220
220
220
220 | 3.922
2.5816
2.5816
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25.176
25.3519
24.225
25.300
27.469
25.919
26.849
27.579
26.925
27.599
28.849
29.599
31.710
29.599
31.710
29.599
31.710 | 5.506
5.612 | 1.00 20.21 1.00 19.61 1.00 12.11 1.00 5.63 1.00 23.62 1.00 23.62 1.00 24.43 1.00 28.17 1.00 11.70 1.00 6.58 1.00 11.12 1.00 0.00 1.00 15.66 1.00 12.39 1.00 15.04 1.00 9.76 1.00 9.76 1.00 9.76 1.00 9.76 1.00 13.52 1.00 15.23 1.00 15.23 | |
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1.00 7.11 | |

FIG.42

CONTINUED FROM <TABLE A>

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13. 8148
13. 9148
13. 9148
13. 9148
14. 8178
15. 500
14. 8134
17. 628
17. 628
18. 878
19. 686
19. 68 | 1.00 2.
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1.00 9. |
|--|---|---|------------|--|---|--|--|
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FIG.43



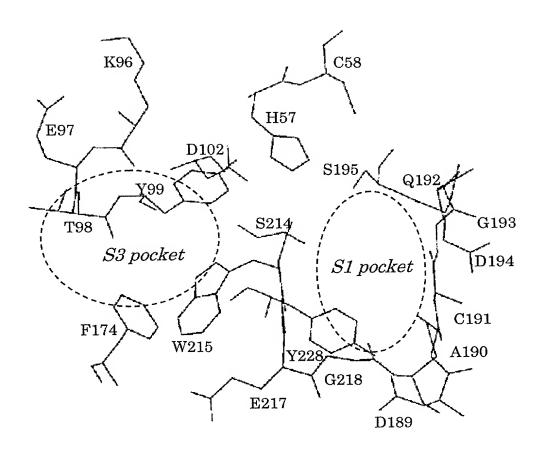
Human Factor Xa (Des-Gla domain)

FIG.44



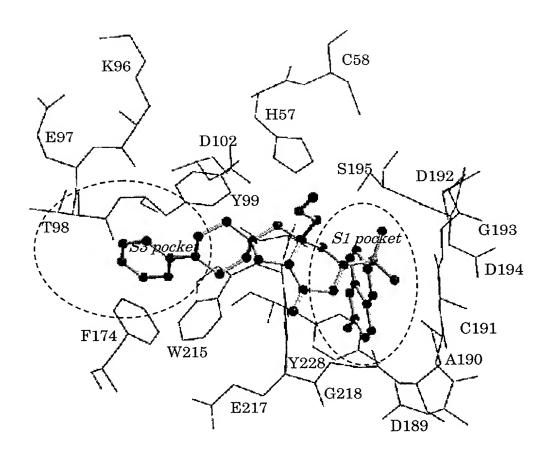
Human Factor Xa (Des-Gla domain) — Compound A

FIG.45

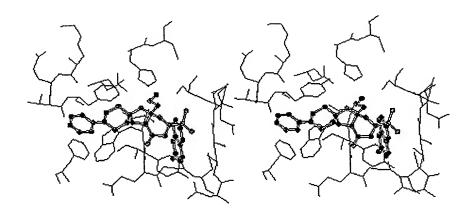


Factor Xa Active Site

FIG.46



Factor Xa Active Site occupied Compound A



Stereo View Factor Xa Active Site occupied Compound A

- ①CHYMOTRYPSIN NO. IN 1FAX STRUCTURE
- ②AMINO ACID SEQUENCE OF THE SERINE PROTEASE DOMAIN IN FXA

③SERIAL NO. OF THE RESIDUES OF THE SERINE PROTEASE DOMAIN IN FXA

| יט | OMAI | | | | | | | | | | | | | |
|-----|----------|----|--------|--------|-----|------|-------|-----|-------|-------|-------|------|-----|-----|
| 1 | 2 | 3 | ① | 2 | 3 | 1 | 2 | 3 | 1 | 2 | 3 | ① | 2 | 3 |
| Ţ. | 6 ILE | 1 | 67 | ARG | 53 | 11 | 9 AL | 105 | 16 | 9 LY: | S 157 | 220 | CYS | 209 |
| 1 | 7 VAL | 2 | 68 | | | 120 |) PRO | 105 | 17 | O LEI | J 158 | 221 | ALA | 210 |
| 1.0 | B GLY | 3 | 69 | GLY | 55 | 12 | 1 AL | 107 | 17 | 1 SE | R 159 | 222 | ARG | 211 |
| 19 | | 4 | 70 | | 56 | 12: | | | 17 | | | 223 | LYS | 212 |
| 20 | | 5 | 71 | | 57 | 12 | | | 17: | | | 223A | GLY | 213 |
| 2. | | | | | | | f PRO | | 17 | | | 224 | LYS | 214 |
| | | 6 | 72 | | 58 | | | | | | | | | |
| 22 | | 7 | 73 | | 59 | 124/ | | | 17 | | | 225 | TYR | 215 |
| 2: | - | 8 | 74 | | 60 | 12 | | | 171 | | | 226 | GLY | 216 |
| 24 | | 9 | 75 | | 61 | 126 | | | 17 | | | 227 | علا | 217 |
| 25 | | 10 | 76 | GLU | 62 | 127 | | | 178 | | | 228 | TYR | 218 |
| 26 | | 11 | 77 | GLU | 63 | 128 | | | 179 | | | 229 | THR | 219 |
| 27 | | 12 | 78 | GLY | 64 | 129 | | | 180 | | | 230 | LYS | 220 |
| 28 | | 13 | 79 | GLY | 65 | 130 | | | 181 | | | 231 | VAL | 221 |
| 29 | TRP | 14 | 80 | GLU | 66 | 131 | THR | 118 | 182 | 2 CYS | 170 | 232 | THR | 222 |
| 30 | GLN | 15 | 81 | ALA | 67 | 1314 | LEU | 119 | 183 | 3 ALA | 171 | 233 | ALA | 223 |
| 31 | ALA | 16 | 82 | VAL | 68 | 131B | MET | 120 | 184 | F GLY | 172 | 234 | PHE | 224 |
| 32 | LEU | 17 | 83 | HIS | 69 | 132 | THR | 121 | 185 | TYR | 173 | 235 | LEU | 225 |
| 33 | LEU | 18 | 84 | GLU | 70 | 133 | GLN | 122 | 185A | ASP | 174 | 236 | LYS | 226 |
| 34 | ILE | 19 | 85 | VAL | 71 | 134 | LYS | 123 | 1858 | THR | 175 | 237 | TRP | 227 |
| 35 | ASN | 20 | 86 | GLU | 72 | 135 | THR | 124 | 186 | LYS | 176 | 238 | ILE | 228 |
| 36 | GLU | 21 | 87 | VAL | 73 | 136 | | 125 | 187 | | | 239 | ASP | 229 |
| 37 | | 22 | 88 | VAL | 74 | 137 | | 126 | 188 | | | | ARG | 230 |
| 38 | ASN | 23 | 89 | ILE | 75 | 138 | VAL | 127 | 189 | ASP | 179 | 241 | SER | 231 |
| 39 | GLU | 24 | 90 | LYS | 76 | 139 | SER | 128 | 190 | ALA | 180 | 242 | MET | 232 |
| 40 | GLY | 25 | 91 | HIS | 77 | 140 | GLY | 129 | 191 | | | 243 | LYS | 233 |
| 41 | PHE | 26 | 92 | ASN | 78 | 141 | PHE | 130 | 192 | GLN | 182 | 244 | THR | 234 |
| 42 | CYS | 27 | | ARG | 79 | 142 | GLY | 131 | 193 | | | | | |
| 43 | GLY | 28 | 94 | PHE | 80 | 143 | ARG | 132 | 194 | | 184 | | | |
| 44 | GLY | 29 | 95 | THR | 81 | 144 | THR | 133 | 195 | | | | | |
| 45 | THR | 30 | 96 | LYS | 82 | 145 | HIS | 134 | 196 | GLY | 186 | | | |
| 46 | ILE | 31 | 97 | GLU | 83 | 147 | GLU | 135 | 197 | GLY | 187 | | | |
| 47 | LEU | 32 | 98 | THR | 84 | 148 | LYS | 136 | 198 | PRO | 188 | | | |
| 48 | SER | 33 | 99 | TYR | 85 | 149 | GLY | 137 | 199 | HIS | 189 | | | |
| 49 | GLU | 34 | | ASP | 86 | | ARG | 138 | 200 | VAL | 190 | | | |
| 50 | PHE | 35 | 101 | PHE | 87 | 151 | GLN | 139 | 201 | THR | 191 | | | |
| 51 | TYR | 36 | | ASP | 88 | 152 | SER | 140 | 202 | ARG | 192 | | | |
| 52 | ILE | 37 | 103 | ILE | 89 | 153 | THR | 141 | 203 | PHE | | | | |
| 53 | LEU
1 | 38 | | ALA | 90 | 154 | ARG | 142 | 203 | LYS | 193 | | | |
| 54 | THR | | | VAL | | 155 | LEU | 143 | 205 | ASP | 194 | | | |
| 55 | | 39 | | | 91 | 156 | | | | | 195 | | | |
| | ALA | 40 | | LEU | 92 | | LYS | 144 | 206 | THR | 196 | | | |
| 56 | ALA | 41 | | ARG | 93 | 157 | MET | 145 | 207 | TYR | 197 | | | |
| 57 | HIS | 42 | | LEU | 94 | 158 | LEU | 146 | 208 | PHE | 198 | | | |
| 58 | CYS | 43 | | LYS | 95 | 159 | GLU | 147 | 209 | VAL | 199 | | | |
| 59 | LEU | 44 | | THR | 96 | 160 | VAL | 148 | 210 | THR | 200 | | | |
| 60 | TYR | 45 | | PRO | 97 | 161 | PRO | 149 | 211 | GLY | 201 | | | |
| 61 | GLN | 46 | 112 | ILE | 98 | 162 | TYR | 150 | 212 | ILE | 202 | | | |
| 61A | ALA | 47 | 113 | THR | 99 | 163 | VAL | 151 | 213 | VAL | 203 | | | |
| 62 | LYS | 48 | 114 | PHE | 100 | 154 | ASP | 152 | 214 | SER | 204 | | | |
| 63 | ARG | 49 | 115 / | ARG | 101 | 165 | ARG | 153 | 215 | TRP | 205 | | | |
| 64 | PHE | 50 | | | 102 | | ASN | 154 | 216 | GLY | 206 | | | |
| 65 | LYS | 51 | 117. / | | 103 | 167 | SER | 155 | 217 | GLU | 207 | | | |
| 68 | VAL | 52 | | | 104 | 168 | | 156 | 218 | GLY | 208 | | | |
| - • | - / 164 | J. | , , , | - / 11 | | | 3.0 | | £ , U | J 1 | | | | |